

10/584,632

STM- Structure Search
1/31/08

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L4 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1258734 CAPLUS

DOCUMENT NUMBER: 147:541866

TITLE: Preparation of trisubstituted 1H-pyrazoles as inhibitors of transforming growth factor β

INVENTOR(S): Li, Song; Li, Xingzhou; Dai, Xianping; Zheng, Zhibing; Wang, Lili; Xiao, Junhai; Liu, Hongying

PATENT ASSIGNEE(S): Institute of Pharmacology and Toxicology, Academy of Military Medical Sciences, The Chinese People's Liberation Army, Peop. Rep. China

SOURCE: Faming Zhuanli Shengqing Gongkai Shuomingshu, 113pp.

CODEN: CNXXEV

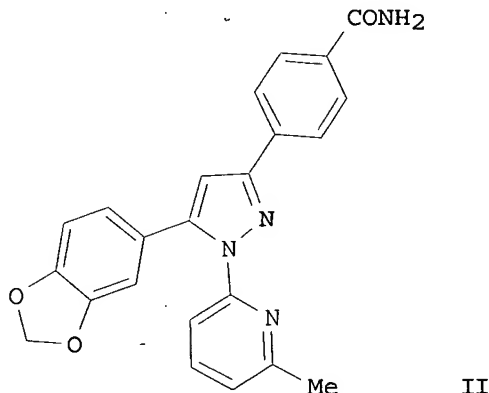
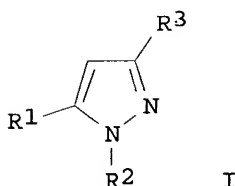
DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CN 101062916	A	20071031	CN 2006-10078014	20060429
PRIORITY APPLN. INFO.: GI			CN 2006-10078014	20060429



AB The title trisubstituted 1H-pyrazole compds. I [wherein R1 and R2 = independently (un)substituted or (un)fused aryl or heterocyclyl; R3 = (un)substituted aryl, heterocyclyl, halo, alkyl, etc.], or isomers, pharmaceutically acceptable salts, or hydrates thereof were prepared as inhibitors of transforming growth factor β (TGF- β). For example, II was prepared in a multi-step synthesis. II showed 45.28% inhibitory activity against TGF- β . The compds. are useful for treatment of chronic nephritis, arthritis, diabetic nephrosis, arteriosclerosis, pulmonary fibrosis, liver fibrosis, etc. (no data).

IT 957654-40-9P 957654-45-4P 957654-50-1P

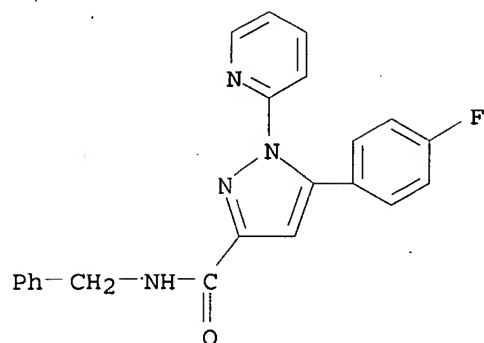
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of trisubstituted 1H-pyrazoles as TGF- β inhibitors)

RN 957654-40-9 CAPLUS

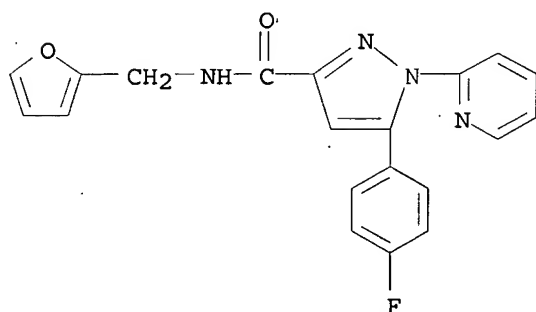
CN 1H-Pyrazole-3-carboxamide, 5-(4-fluorophenyl)-N-(phenylmethyl)-1-(2-pyridinyl)- (CA INDEX NAME)

10/584,632



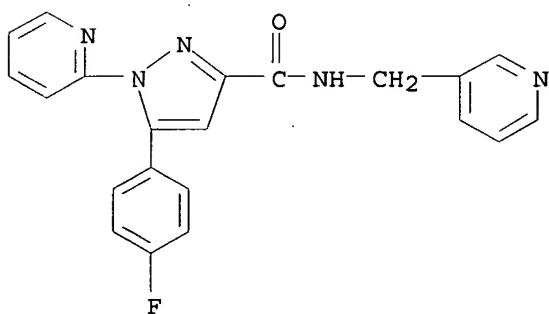
RN 957654-45-4 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 5-(4-fluorophenyl)-N-(2-furanylmethyl)-1-(2-pyridinyl)- (CA INDEX NAME)



RN 957654-50-1 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 5-(4-fluorophenyl)-1-(2-pyridinyl)-N-(3-pyridinylmethyl)- (CA INDEX NAME)



L4 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:14431 CAPLUS

DOCUMENT NUMBER: 146:121962

TITLE: Pyrazole based LXR modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases

INVENTOR(S): Busch, Breet B.; Flatt, Brenton T.; Gu, Xiao Hui; Martin, Richard; Mohan, Raju; Nyman, Michael Charles; Schweiger, Edwin; Stevens, William C., Jr.; Wang, Tie Lin; Xie, Yinong

10/584,632

PATENT ASSIGNEE(S): Exelixis, Inc., USA
SOURCE: PCT Int. Appl., 533pp., which
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007002559	A1	20070104	WO 2006-US24749	20060626
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
PRIORITY APPLN. INFO.:			US 2005-694372P	P 20050627
			US 2005-736120P	P 20051110
OTHER SOURCE(S):	MARPAT 146:121962			
GI				

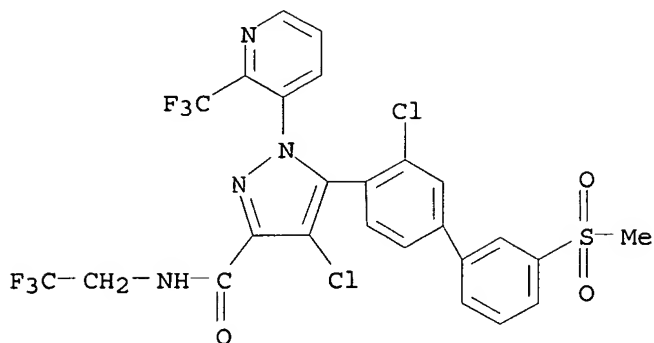
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Comps. of the invention, such as compds. of formulas I, II, III, and IV and pharmaceutically acceptable salts, isomers, and prodrugs thereof, which are useful as modulators of the activity of liver X receptors. Pharmaceutical compns. containing the compds. and methods of using the compds. are also disclosed. Compds. of formulas I - IV wherein R1 is (un)substituted (hetero)aryl, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted (thio)ethers, etc.; R2 and R21 are independently (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkyl, H, halo, NO2, CN, (hetero)aryl, etc.; R3 is (un)substituted alkyl, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted acetyl, (un)substituted thioacetyl, etc.; G is (un)substituted (hetero)aryl, (un)substituted biaryl, (un)substituted alkenoyl, etc.; and their pharmaceutically acceptable salts, isomers, and prodrugs thereof, are claimed. Example compound V was prepared by acylation of 2-acetyl-5-bromothiophene with Et trifluoroacetate; the resulting 1-(5-bromothiophen-2-yl)-4,4,4-trifluorobutane-1,3-dione underwent cyclization with 2,5-dichlorophenylhydrazine hydrochloride to give 5-(5-bromothiophen-2-yl)-1-(2,5-dichlorophenyl)-3-trifluoromethyl-1H-pyrazole, which underwent Suzuki cross-coupling with 3-aminosulfonylphenylboronic acid to give compound II. All the invention compds. were evaluated for their LXR modulatory activity. From the assay, it was determined that several of the tested compds. exhibited IC50 values of < 1 µM.

IT 918319-15-0P 918319-16-1P 918322-06-2P
918322-07-3P 918325-77-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrazoles as LXR modulators and their use in the treatment of diseases)

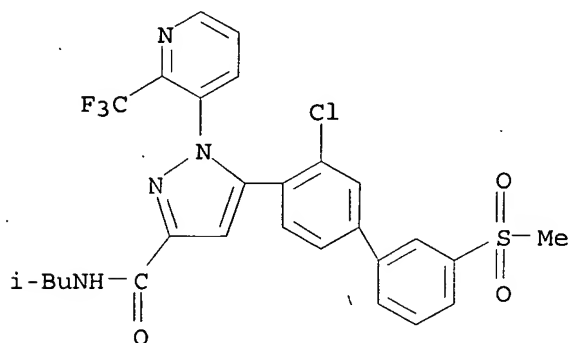
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pyridinyl]- (CA INDEX NAME)



RN 918325-77-6 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 5-[3-chloro-3'-(methanesulfonyl)[1,1'-biphenyl]-4-yl]-N-(2-methylpropyl)-1-[2-(trifluoromethyl)-3-pyridinyl]- (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:325402 CAPLUS

DOCUMENT NUMBER: 145:103666

TITLE: Preparation of pyrazoles as cyclooxygenase inhibitors

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: Aust. Pat. Appl., 68 pp.

CODEN: AUXXCM

DOCUMENT TYPE: Patent

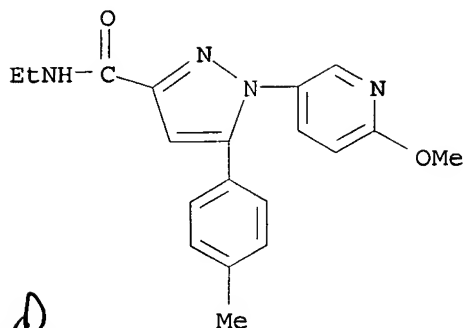
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AU 2004200420	A1	20040930	AU 2004-200420	20040206
PRIORITY APPLN. INFO.:			AU 2003-901100	A 20030311
OTHER SOURCE(S):	MARPAT	145:103666		

GI



Inventor
L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:612279 CAPLUS

DOCUMENT NUMBER: 143:133365

TITLE: Preparation of pyrazole carboxamide derivatives as platelet aggregation inhibitors for treatment of ischemia

INVENTOR(S): Kanaya, Naoaki; Ishiyama, Takashi; Muto, Ryo; Ochiai, Yuichi; Watanabe, Toshiyuki; Kuru, Noriko

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 329 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005063737	A1	20050714	WO 2004-JP19582	20041227
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004309254	A1	20050714	AU 2004-309254	20041227
CA 2551604	A1	20050714	CA 2004-2551604	20041227
EP 1698626	A1	20060906	EP 2004-807937	20041227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1902191	A	20070124	CN 2004-80039042	20041227
MX 2006PA07424	A	20060913	MX 2006-PA7424	20060626
NO 2006003090	A	20060921	NO 2006-3090	20060704
US 2007219210	A1	20070920	US 2007-584632	20070227
PRIORITY APPLN. INFO.:			JP 2003-434726	A 20031226
			JP 2004-12154	A 20040120
			JP 2004-321117	A 20041104
			WO 2004-JP19582	W 20041227

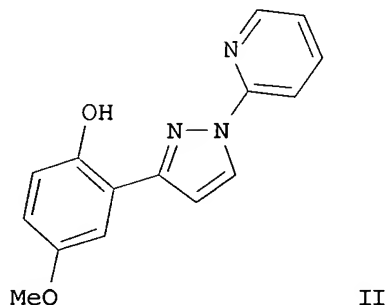
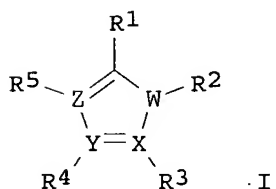
OTHER SOURCE(S): MARPAT 143:133365

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RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:1124567 CAPLUS
 DOCUMENT NUMBER: 142:74572
 TITLE: Preparation of heterocyclic compounds for treating hepatitis C virus
 INVENTOR(S): Vourloumis, Dionisios; Takahashi, Masayuki; Winters, Geoff; Zhou, Jinglan; Duchene, Russell
 PATENT ASSIGNEE(S): Anadys Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 416 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004110351	A2	20041223	WO 2004-US15249	20040514
WO 2004110351	A3	20050428		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005075375	A1	20050407	US 2004-845587	20040514
PRIORITY APPLN. INFO.:			US 2003-470200P	P 20030514
OTHER SOURCE(S):	MARPAT 142:74572			
GI				



AB The title compds. I [X, Y, Z = C, N; W = N, O, S; R1, R3-R5 = H, halo, NO2, etc.; R2 = H, alkyl], useful for treating Hepatitis C virus, were prepared E.g., a multi-step synthesis of II, starting from 2'-hydroxy-5'-methoxyacetophenone, was given. The compds. I were tested for inhibition of HCV replication in in vitro assays (the results of EC50 assay are given for 640 compds. I). The pharmaceutical composition comprising the compound I is disclosed.

IT 814262-81-2P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

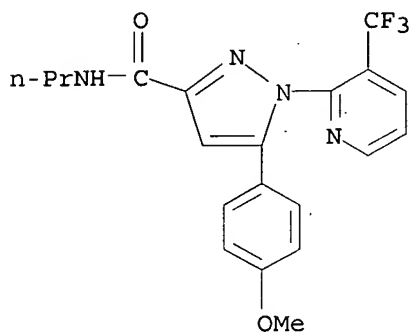
10/584,632

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of disubstituted pyrazoles, oxadiazoles and triazoles for treating hepatitis C virus)

RN 814262-81-2 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 5-(4-methoxyphenyl)-N-propyl-1-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:796496 CAPLUS

DOCUMENT NUMBER: 141:290547

TITLE: Fungicidal compositions comprising N-phenyl-N-[4-(4-pyridyl)-2-pyrimidin-2-yl]amine derivatives

INVENTOR(S): Ackerman, Peter; Stierli, Daniel; Jung, Pierre Marcel Joseph; Maiefisch, Peter; Cederbaum, Fredrik Emil Malcolm; Wenger, Jean-Frederic

PATENT ASSIGNEE(S): Syngenta Participations AG, Switz.

SOURCE: Brit. UK Pat: Appl., 112 pp.

CODEN: BAXXDU

DOCUMENT TYPE: Patent

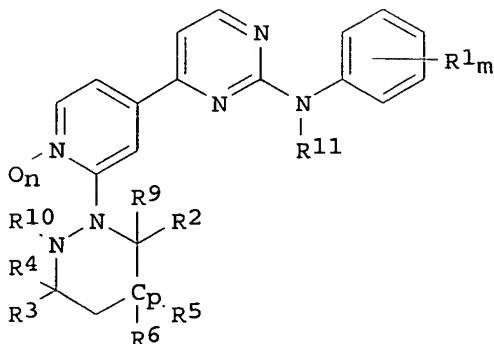
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2399754	A	20040929	GB 2004-3967	20040223
PRIORITY APPLN. INFO.:			GB 2003-7269	A 20030328
OTHER SOURCE(S):		MARPAT 141:290547		

GI



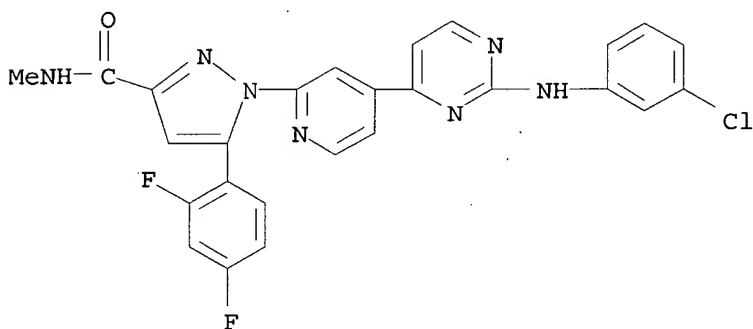
AB Compns. for protecting plants, especially fungicidal compns., comprise N-phenyl-N-[4-(4-pyridyl)-2-pyrimidin-2-yl]amine derivs. (I, R1 = halo or (un)substituted alkyl, alkoxy, alkenyloxy, alkynyloxy, thioalkyl, aryl, etc.; R2-R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H, (un)substituted alkyl, alkenyl, etc.; R11 = H, C1-4 alkyl, C3-4 alkenyl, etc.; m = 0, 1, 2, or 3; n, p = 0 or 1; q = 1 or 2) or a salt thereof, together with a suitable carrier and optionally addnl. active compds. Thus, spraying 1-wk-old wheat plants 0.02% I (in a test with 7 such compds.) resulted in >70% control of fungal infection assessed 10 days after inoculation with Puccinia graminis.

IT 764698-93-3

RL: AGR (Agricultural use); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)
(as fungicide for plant protection)

RN 764698-93-3 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 1-[4-[2-[(3-chlorophenyl)amino]-4-pyrimidinyl]-2-pyridinyl]-5-(2,4-difluorophenyl)-N-methyl- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:493684 CAPLUS

DOCUMENT NUMBER: 141:54327

TITLE: Preparation of pyrazole derivatives useful as COX-1 inhibitors

INVENTOR(S): Shirai, Fumiyuki; Azami, Hidenori; Kayakiri, Natsuko; Okumura, Kazuo; Nakamura, Katsuya

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 436 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

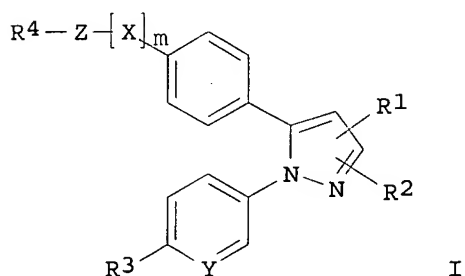
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004050632	A1	20040617	WO 2003-JP14489	20031114
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,				

ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2505945	A1	20040617	CA 2003-2505945	20031114
AU 2003302635	A1	20040623	AU 2003-302635	20031114
EP 1567503	A1	20050831	EP 2003-812289	20031114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016332	A	20050927	BR 2003-16332	20031114
CN 1717393	A	20060104	CN 2003-80104548	20031114
JP 2006514095	T	20060427	JP 2004-570721	20031114
MX 2005PA05742	A	20050816	MX 2005-PA5742	20050530
IN 2005CN01453	A	20070622	IN 2005-CN1453	20050629
NO 2005003215	A	20050901	NO 2005-3215	20050630
PRIORITY APPLN. INFO.:			AU 2002-953019	A 20021202
			AU 2002-953602	A 20021230
			AU 2003-902015	A 20030429
			WO 2003-JP14489	W 20031114

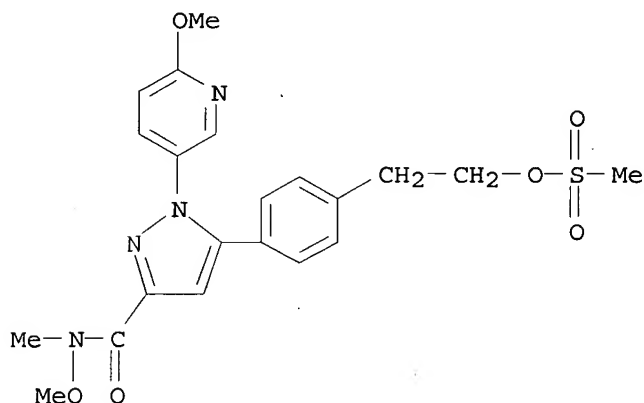
OTHER SOURCE(S): MARPAT 141:54327
 GI



- AB The compds. [I; R1 = H, alkyl; R2 = alkyl, haloalkyl, hydroxyalkyl, etc.; R3 = alkoxy, halo, CN, etc.; R4 = H, CN, OH, etc.; X = O, S, SO, SO₂; Y = CH, N; Z = alkylene, alkenylene; m = 0-1], were prepared E.g., a 3-step synthesis of 4-[3-isopropyl-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenol, was given. The compds. I have an inhibiting activity against COX, particularly a selective inhibiting activity against COX-1 (data for representative compds. I is given). The pharmaceutical composition comprising the compound I is claimed.
- IT 705934-64-1P 705934-77-6P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of pyrazole derivs. useful as COX-1 inhibitors)
- RN 705934-64-1 CAPLUS
- CN 1H-Pyrazole-3-carboxamide, N-ethyl-1-(6-methoxy-3-pyridinyl)-N-methyl-5-[4-[2-[(methylsulfonyl)oxy]ethyl]phenyl]- (CA INDEX NAME)

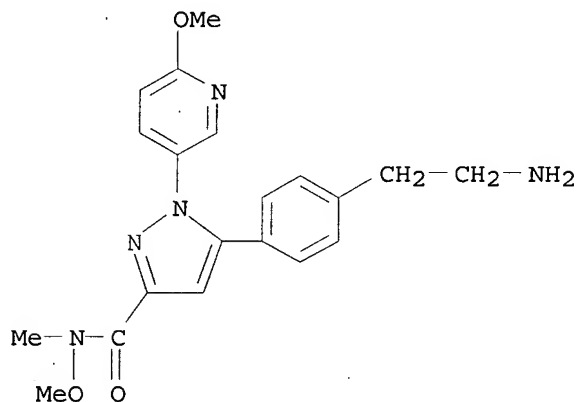
10/584,632

CN 1H-Pyrazole-3-carboxamide, N-methoxy-1-(6-methoxy-3-pyridinyl)-N-methyl-5-[4-[2-[(methylsulfonyl)oxy]ethyl]phenyl]- (CA INDEX NAME)



RN 705939-37-3 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 5-[4-(2-aminoethyl)phenyl]-N-methoxy-1-(6-methoxy-3-pyridinyl)-N-methyl-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:493568 CAPLUS

DOCUMENT NUMBER: 141:54325

TITLE: Preparation of pyrazole derivatives useful as COX-1 inhibitors

INVENTOR(S): Shirai, Fumiyuki; Azami, Hidenori; Kayakiri, Natsuko; Okumura, Kazuo; Nakamura, Katsuya

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: U.S. Pat. Appl. Publ., 142 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

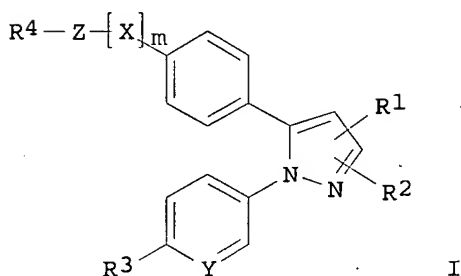
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

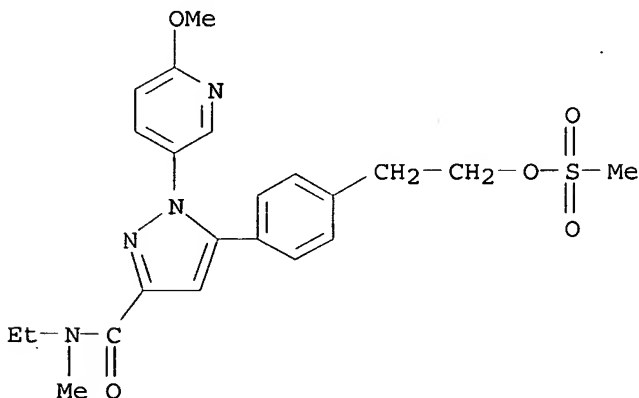
PATENT INFORMATION:

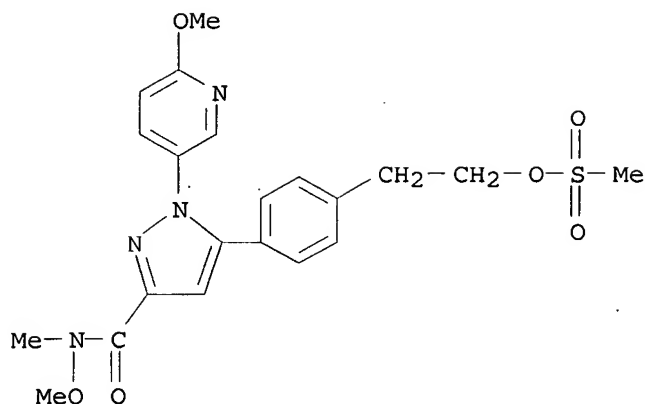
10/584,632

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004116475	A1	20040617	US 2003-706999	20031114
US 7183306	B2	20070227		
CN 1717393	A	20060104	CN 2003-80104548	20031114
US 2007112037	A1	20070517	US 2006-610230	20061213
PRIORITY APPLN. INFO.:			AU 2002-953019	A 20021202
			AU 2002-953602	A 20021230
			AU 2003-902015	A 20030429
			US 2003-706999	A3 20031114
OTHER SOURCE(S):	MARPAT 141:54325			
GI				



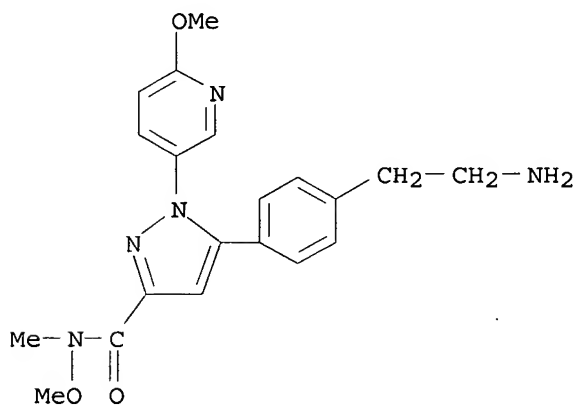
- AB The compds. [I; R1 = H, alkyl; R2 = alkyl, haloalkyl, hydroxyalkyl, etc.; R3 = alkoxy, halo, CN, etc.; R4 = H, CN, OH, etc.; X = O, S, SO, SO2; Y = CH, N; Z = alkylene, alkenylene; m = 0-1], were prepared E.g., a 3-step synthesis of 4-[3-isopropyl-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenol, was given. The compds. I have an inhibiting activity against COX, particularly a selective inhibiting activity against COX-1 (data for representative compds. I is given). The pharmaceutical composition comprising the compound I is claimed.
- IT 705934-64-1P 705934-77-6P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of pyrazole derivs. useful as COX-1 inhibitors)
- RN 705934-64-1 CAPLUS
- CN 1H-Pyrazole-3-carboxamide, N-ethyl-1-(6-methoxy-3-pyridinyl)-N-methyl-5-[4-[2-[(methysulfonyl)oxy]ethyl]phenyl]- (CA INDEX NAME)





RN 705939-37-3 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 5-[4-(2-aminoethyl)phenyl]-N-methoxy-1-(6-methoxy-3-pyridinyl)-N-methyl-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:442769 CAPLUS

DOCUMENT NUMBER: 139:190635

TITLE: Discovery of a potent and selective series of pyrazole bacterial methionyl-tRNA synthetase inhibitors

AUTHOR(S): Finn, John; Mattia, Karen; Morytko, Mike; Ram, Siya; Yang, Yingfei; Wu, Ximao; Mak, Elsa; Gallant, Paul; Keith, Dennis

CORPORATE SOURCE: Cubist Pharmaceutical Inc., Lexington, MA, 02421, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(13), 2231-2234

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:190635

AB Starting with a micromolar lead identified from high-throughput screening,

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a series of pyrazoles were discovered with significantly improved potency on bacterial methionyl-tRNA synthetase and selectivity over human methionyl-tRNA synthetase.

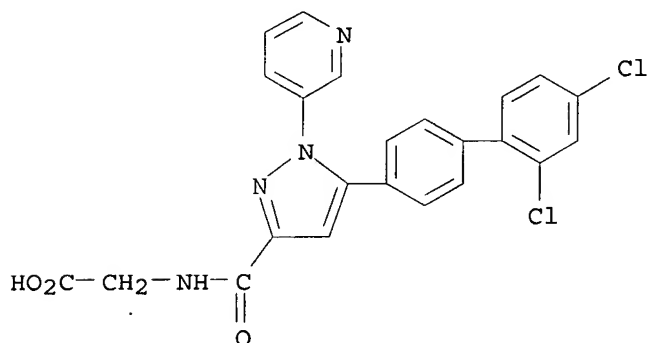
IT 583850-56-0P 583850-57-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(potent and selective pyrazole inhibitors of bacterial methionyl-tRNA synthetase in comparison with human enzyme)

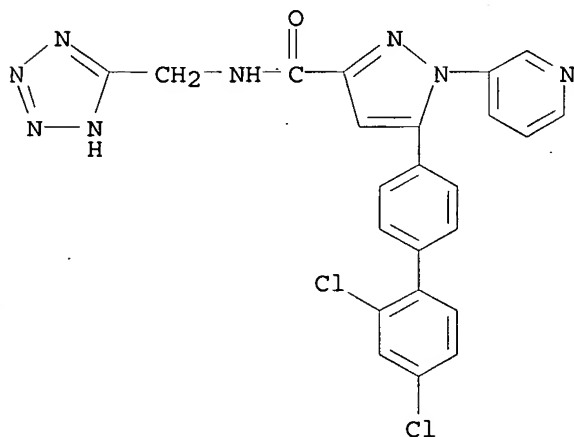
RN 583850-56-0 CAPLUS

CN Glycine, N-[[5-(2',4'-dichloro[1,1'-biphenyl]-4-yl)-1-(3-pyridinyl)-1H-pyrazol-3-yl]carbonyl]- (CA INDEX NAME)



RN 583850-57-1 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 5-(2',4'-dichloro[1,1'-biphenyl]-4-yl)-1-(3-pyridinyl)-N-(1H-tetrazol-5-ylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:612965 CAPLUS

DOCUMENT NUMBER: 117:212965

TITLE: Preparation of N-(pyrazolylcarbonyl)amino acids and analogs as antipsychotics

INVENTOR(S): Boigegrain, Danielle; Gully, Robert; Jeanjean, Francis; Molimard, Jean Charles

PATENT ASSIGNEE(S): Sanofi SA, Fr.

SOURCE: Fr. Demande, 53 pp.

10/584,632

DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

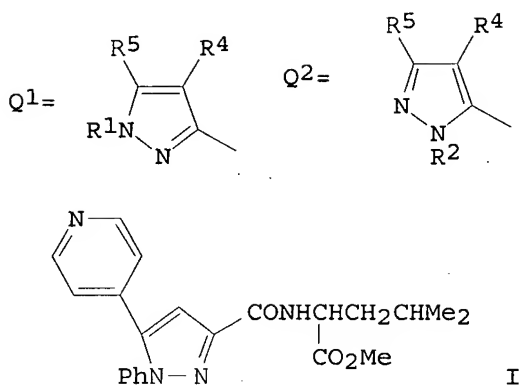
CODEN: FRXXBL

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2665898	A1	19920221	FR 1990-10486	19900820
FR 2665898	B1	19940311		
HU 59106	A2	19920428	HU 1991-2750	19910817
HU 217435	B	20000128		
FI 9103917	A	19920221	FI 1991-3917	19910819
FI 104170	B	19991130		
FI 104170	B1	19991130		
NO 9103234	A	19920221	NO 1991-3234	19910819
NO 300212	B1	19970428		
BR 9103550	A	19920407	BR 1991-3550	19910819
IL 99225	A	19951031	IL 1991-99225	19910819
PL 169085	B1	19960531	PL 1991-291463	19910819
RU 2066317	C1	19960910	RU 1991-5001452	19910819
CA 2049514	A1	19920221	CA 1991-2049514	19910820
CA 2049514	C	19970225		
AU 9182596	A	19920227	AU 1991-82596	19910820
AU 646683	B2	19940303		
EP 477049	A1	19920325	EP 1991-402269	19910820
EP 477049	B1	19991201		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 9106583	A	19920527	ZA 1991-6583	19910820
JP 04244065	A	19920901	JP 1991-208108	19910820
CZ 281864	B6	19970312	CZ 1991-2574	19910820
CA 2166903	C	19980901	CA 1991-2166903	19910820
CA 2166902	C	19990119	CA 1991-2166902	19910820
CA 2166901	C	19990126	CA 1991-2166901	19910820
KR 223074	B1	19991015	KR 1991-14358	19910820
AT 187167	T	19991215	AT 1991-402269	19910820
ES 2142798	T3	20000501	ES 1991-402269	19910820
LV 10434	B	19951020	LV 1993-138	19930225
LT 3520	B	19951127	LT 1993-656	19930615
US 5420141	A	19950530	US 1993-119830	19930913
US 5635526	A	19970603	US 1995-393829	19950224
US 5607958	A	19970304	US 1995-394757	19950227
US 5616592	A	19970401	US 1995-394756	19950227
US 5744493	A	19980428	US 1996-775150	19961231
US 5744491	A	19980428	US 1997-778105	19970102
HK 1005136	A1	20000922	HK 1998-104340	19980519
GR 3032732	T3	20000630	GR 2000-400431	20000223

PRIORITY APPLN. INFO.:

FR 1990-10486	A	19900820
CA 1991-2049514	A3	19910820
US 1991-747359	B1	19910820
US 1993-119830	A3	19930913
US 1995-393829	A3	19950224
US 1995-394756	A3	19950227

OTHER SOURCE(S): MARPAT 117:212965
 GI



AB R3CONR(CH2)nCXX1COZ [R = H, alkyl; R3 = pyrazolyl group Q1 or Q2; R1 = (substituted) Ph, carboxyalkyl, alkoxyalkyl, pyridyl, etc.; R2 = (substituted) PhCH2; R4 = H, halo, alkyl; R5 = alkyl, (substituted) Ph, naphthyl, pyridyl, etc.; R4R5 = atoms to complete a benzellated ring; X = H, alkyl; X1 = H, (substituted) alkyl, (hetero)aralkyl, etc.; when n = 0, RX1 = (hydroxy substituted) (CH2)4-6; CXX1 = cycloalkylidene; Z = OH, NH2, alkoxy, etc.; n = 0-3] were prepared as neurotensin receptor ligands (no data). Thus, R3CO2H (R3 = Q1; R1 = Ph, R4 = H, R5 = 4-pyridyl) was condensed with L-leucine Me ester in the presence of Et3N and R6OP(NMe2)3PF6 (R6 = benzotriazol-1-yl) to give title compound I.

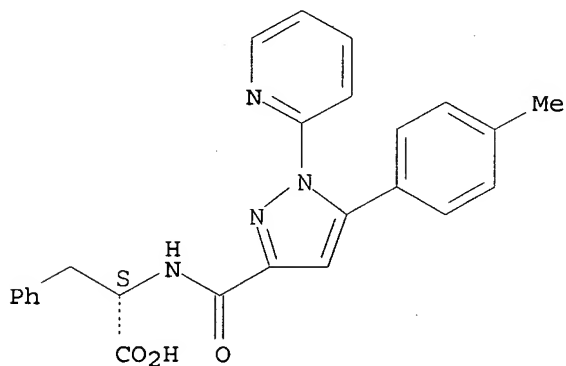
IT 144251-99-0P 144252-00-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antipsychotic)

RN 144251-99-0 CAPLUS

CN L-Phenylalanine, N-[[5-(4-methylphenyl)-1-(2-pyridinyl)-1H-pyrazol-3-yl]carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.

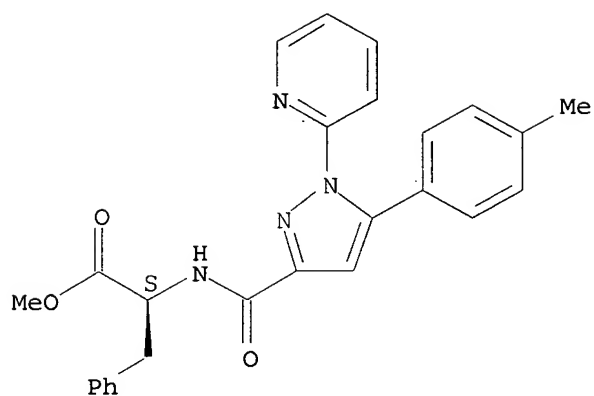


RN 144252-00-6 CAPLUS

CN L-Phenylalanine, N-[[5-(4-methylphenyl)-1-(2-pyridinyl)-1H-pyrazol-3-yl]carbonyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

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=> d his

(FILE 'HOME' ENTERED AT 08:24:19 ON 31 JAN 2008)

FILE 'REGISTRY' ENTERED AT 08:24:37 ON 31 JAN 2008

L1 STRUCTURE UPLOADED

L2 4 S L1

L3 236 S L1 FULL

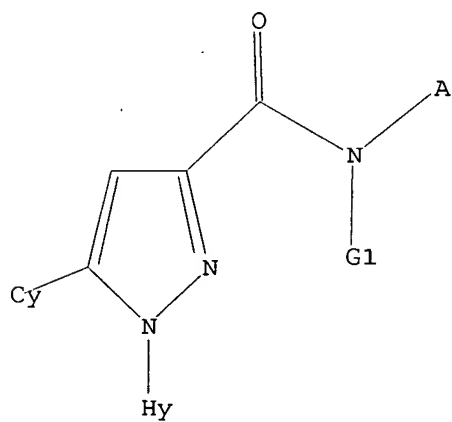
FILE 'CAPLUS' ENTERED AT 08:25:07 ON 31 JAN 2008

L4 10 S L3

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 H, Ak

Structure attributes must be viewed using STN Express query preparation.

=>

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STN-Structure Sealed
11/31/08

=> d ibib abs hitstr 1-11

L4 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:565055 CAPLUS

DOCUMENT NUMBER: 147:9900

TITLE: Substituted 5-heteroaryl-1-phenyl-pyrazole cannabinoid modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases

INVENTOR(S): Xia, Mingde; Liotta, Fina; Pan, Meng; Wachter, Michael P.; Lu, Huajun

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 39pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

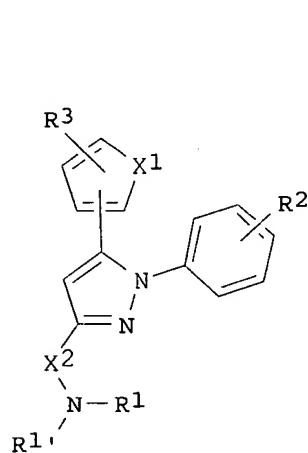
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2007117858	A1	20070524	US 2006-560431	20061116
WO 2007061948	A2	20070531	WO 2006-US44890	20061117
WO 2007061948	A3	20070712		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				

PRIORITY APPLN. INFO.:

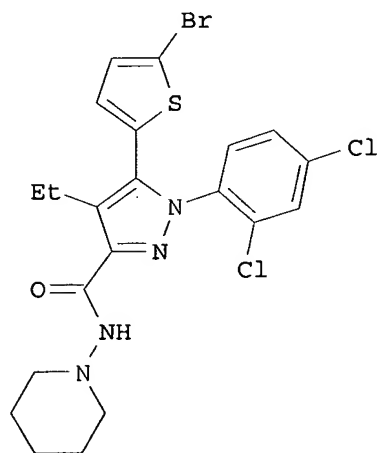
US 2005-739129P P 20051123

OTHER SOURCE(S): MARPAT 147:9900

GI

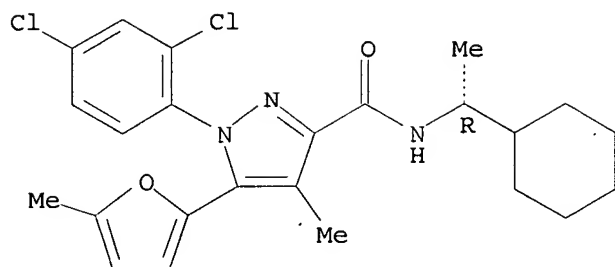


I



II

AB This invention is directed to a substituted 5-heteroaryl-1-phenyl-pyrazole cannabinoid modulator compound of formula I: or a form thereof, and methods for use in treating, ameliorating or preventing a cannabinoid receptor mediated syndrome, disorder or disease. Compds. of formula I wherein X1



L4 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:14431 CAPLUS

DOCUMENT NUMBER: 146:121962

TITLE: Pyrazole based LXR modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases

INVENTOR(S): Busch, Breet B.; Flatt, Brenton T.; Gu, Xiao Hui; Martin, Richard; Mohan, Raju; Nyman, Michael Charles; Schweiger, Edwin; Stevens, William C., Jr.; Wang, Tie Lin; Xie, Yinong

PATENT ASSIGNEE(S): Exelixis, Inc., USA

SOURCE: PCT Int. Appl., 533pp., which

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007002559	A1	20070104	WO 2006-US24749	20060626
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2005-694372P P 20050627

US 2005-736120P P 20051110

OTHER SOURCE(S): MARPAT 146:121962

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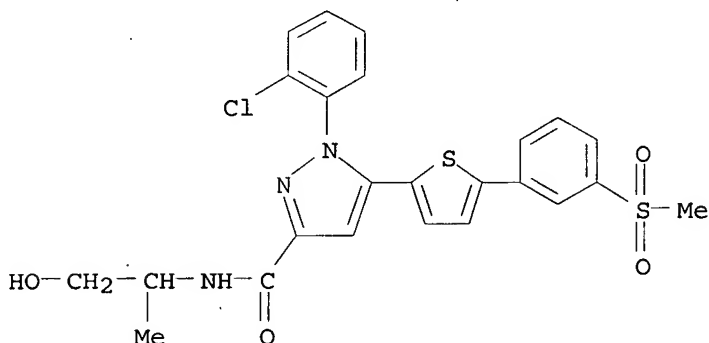
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of the invention, such as compds. of formulas I, II, III, and IV and pharmaceutically acceptable salts, isomers, and prodrugs thereof, which are useful as modulators of the activity of liver X receptors. Pharmaceutical compns. containing the compds. and methods of using the compds. are also disclosed. Compds. of formulas I - IV wherein R1 is (un)substituted (hetero)aryl, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted (thio)ethers, etc.; R2 and R21 are independently

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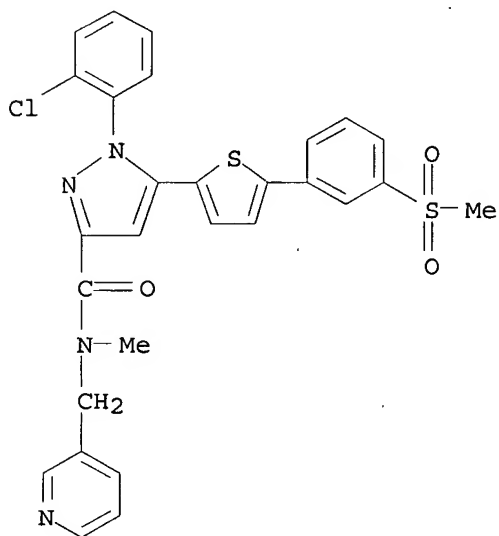
RN 918327-63-6 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 1-(2-chlorophenyl)-N-(2-hydroxy-1-methylethyl)-5-[5-[3-(methylsulfonyl)phenyl]-2-thienyl]- (CA INDEX NAME)



RN 918327-64-7 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 1-(2-chlorophenyl)-N-methyl-5-[5-[3-(methylsulfonyl)phenyl]-2-thienyl]-N-(3-pyridinylmethyl)- (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1183159 CAPLUS

DOCUMENT NUMBER: 146:401872

TITLE: A convenient access to functionalized pyrazole, pyrazolyl-azole, and pyrazolo[3,4-d]pyridazine derivatives

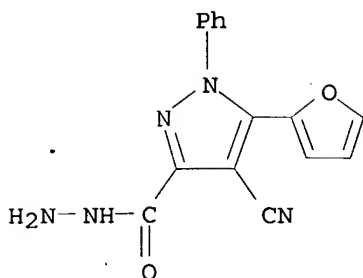
AUTHOR(S): Dawood, Kamal M.; Farag, Ahmad M.; Abdel-Aziz, Hatem A.

CORPORATE SOURCE: Department of Chemistry, Faculty of Science, Cairo University, Giza, 12613, Egypt

SOURCE: Journal of the Chinese Chemical Society (Taipei, Taiwan) (2006), 53(4), 873-880
CODEN: JCCTAC; ISSN: 0009-4536

PUBLISHER: Chinese Chemical Society

DOCUMENT TYPE: Journal



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:147271 CAPLUS

DOCUMENT NUMBER: 144:233068

TITLE: Preparation of substituted pyrazoles as adenosine receptor inhibitors

INVENTOR(S): Bloomfield, Graham Charles; Leblanc, Catherine; McCarthy, Clive; Press, Neil John

PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH

SOURCE: PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006015860	A2	20060216	WO 2005-EP8696	20050810
WO 2006015860	A3	20060615		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005270314	A1	20060216	AU 2005-270314	20050810
CA 2572752	A1	20060216	CA 2005-2572752	20050810
EP 1799206	A2	20070627	EP 2005-777527	20050810
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101001626	A	20070718	CN 2005-80026991	20050810
IN 2006DN08028	A	20070427	IN 2006-DN8028	20061229
KR 2007032812	A	20070322	KR 2007-703249	20070209
US 2007225335	A1	20070927	US 2007-573273	20070329
PRIORITY APPLN. INFO.:				
				A 20040811
				W 20050810

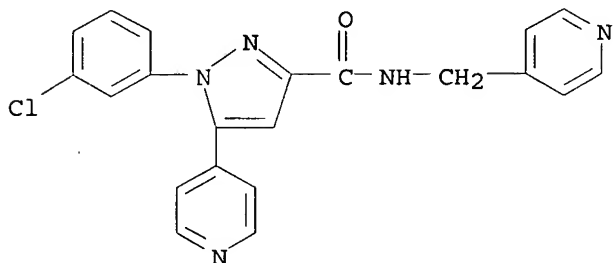
OTHER SOURCE(S): MARPAT 144:233068

GI

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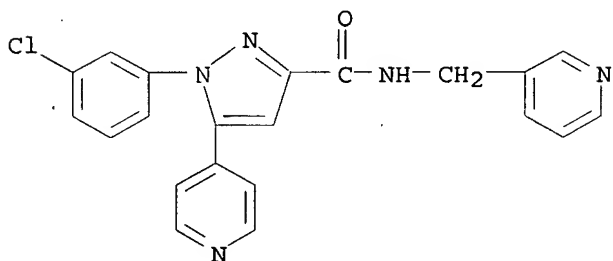
RN 876376-71-5 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 1-(3-chlorophenyl)-5-(4-pyridinyl)-N-(4-pyridinylmethyl)- (CA INDEX NAME)



RN 876376-73-7 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 1-(3-chlorophenyl)-5-(4-pyridinyl)-N-(3-pyridinylmethyl)- (CA INDEX NAME)



L4 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1242633 CAPLUS

DOCUMENT NUMBER: 144:6785

TITLE: Preparation of pyrazole derivatives having affinity
for the cannabinoidergic CB1 and/or CB2 receptors
INVENTOR(S): Lazzari, Paolo; Ruiiu, Stefania; Pinna, Gerard Aime;
Murineddu, Gabriele

PATENT ASSIGNEE(S): Italy

SOURCE: U.S. Pat. Appl. Publ., 24 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

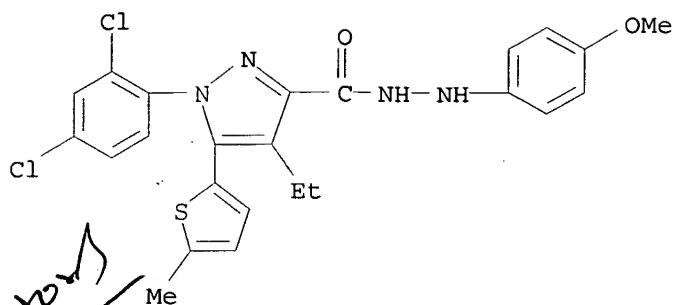
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005261281	A1	20051124	US 2005-134627	20050523
CA 2507712	A1	20051124	CA 2005-2507712	20050517
EP 1602656	A1	20051207	EP 2005-10831	20050519
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
JP 2005350458	A	20051222	JP 2005-150931	20050524
PRIORITY APPLN. INFO.:			IT 2004-MI1032	A 20040524
OTHER SOURCE(S):			CASREACT 144:6785; MARPAT 144:6785	

GI



L4 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:612279 CAPLUS

DOCUMENT NUMBER: 143:133365

TITLE: Preparation of pyrazole carboxamide derivatives as platelet aggregation inhibitors for treatment of ischemia

INVENTOR(S): Kanaya, Naoaki; Ishiyama, Takashi; Muto, Ryo; Ochiai, Yuichi; Watanabe, Toshiyuki; Kuru, Noriko

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 329 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005063737	A1	20050714	WO 2004-JP19582	20041227
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004309254	A1	20050714	AU 2004-309254	20041227
CA 2551604	A1	20050714	CA 2004-2551604	20041227
EP 1698626	A1	20060906	EP 2004-807937	20041227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1902191	A	20070124	CN 2004-80039042	20041227
MX 2006PA07424	A	20060913	MX 2006-PA7424	20060626
NO 2006003090	A	20060921	NO 2006-3090	20060704
US 2007219210	A1	20070920	US 2007-584632	20070227
PRIORITY APPLN. INFO.:			JP 2003-434726	A 20031226
			JP 2004-12154	A 20040120
			JP 2004-321117	A 20041104
			WO 2004-JP19582	W 20041227

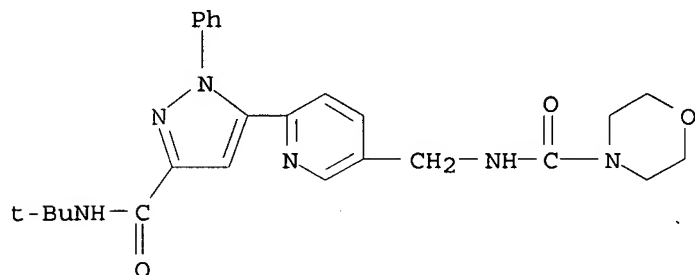
OTHER SOURCE(S): MARPAT 143:133365

GI

10/584,632

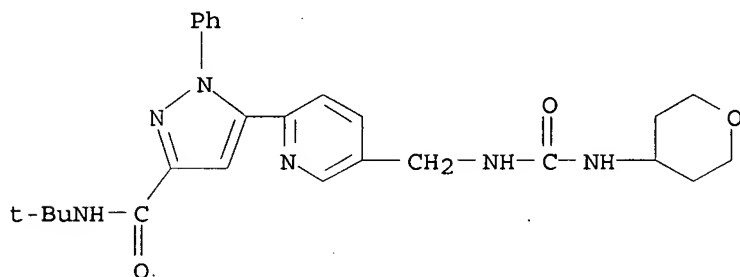
RN 858596-37-9 CAPLUS

CN 4-Morpholinecarboxamide, N-[[6-[3-[[[(1,1-dimethylethyl)amino]carbonyl]-1-phenyl-1H-pyrazol-5-yl]-3-pyridinyl]methyl]- (CA INDEX NAME)



RN 858596-39-1 CAPLUS

CN 1H-Pyrazole-3-carboxamide, N-(1,1-dimethylethyl)-1-phenyl-5-[5-[[[(tetrahydro-2H-pyran-4-yl)amino]carbonyl]amino]methyl]-2-pyridinyl]- (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:216816 CAPLUS

DOCUMENT NUMBER: 140:236100

TITLE: Synthesis of sarcocapsin oligopeptide derivatives for use in the treatment of cancer

INVENTOR(S): Boopathy, Dhanapal

PATENT ASSIGNEE(S): Lipal Biochemicals A.-G. c/o University of Zurich, Switz.

SOURCE: Ger. Offen., 24 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

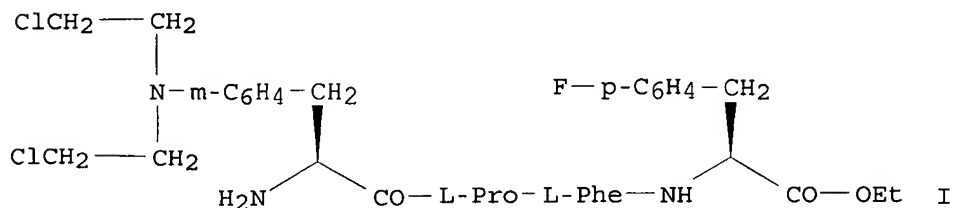
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10239832	A1	20040318	DE 2002-10239832	20020829
WO 2004024755	A2	20040325	WO 2003-EP9630	20030829
WO 2004024755	A3	20041118		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,

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PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003264134 A1 20040430 AU 2003-264134 20030829
PRIORITY APPLN. INFO.: DE 2002-10239832 A 20020829
WO 2003-EP9630 W 20030829
OTHER SOURCE(S): MARPAT 140:236100
GI



AB Methods for the synthesis of title compds. [e.g., (I)], are claimed. Thus, tripeptide H-Pro-Phe-Phe(4-F)OEt [Phe(4-F) = L-4-fluorophenylalanine] was reacted with Boc-m-L-sarcosine to give, after deprotection and work-up, I (34% yield, >90% purity). In in vivo toxicol. tests using DBA/2 mice, I had no toxicity deaths after 21 days at dosages of 8.0, 10.67, or 16.0 mg/kg (Melfalan reference, 1 dead at day 9 at 16.0 dosage). No data was presented for anti-tumor effectiveness of title compds.

IT 666829-49-8P 666829-50-1P

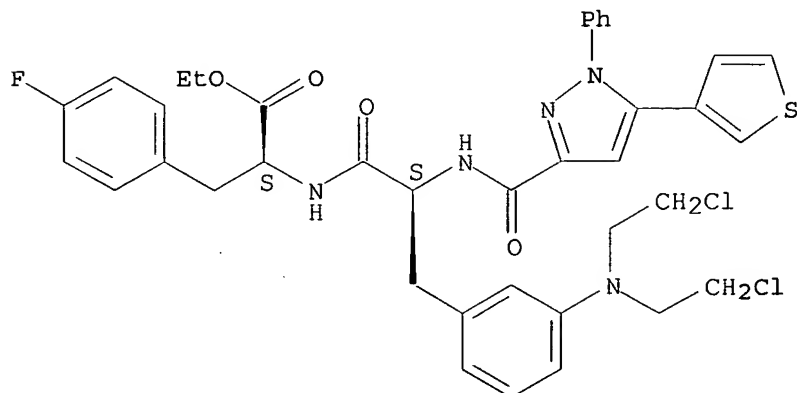
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sarcosine oligopeptide derivs. for use in the treatment of cancer)

RN 666829-49-8 CAPLUS

CN L-Phenylalanine, 3-[bis(2-chloroethyl)amino]-N-[[1-phenyl-5-(3-thienyl)-1H-pyrazol-3-yl]carbonyl]-L-phenylalanyl-4-fluoro-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

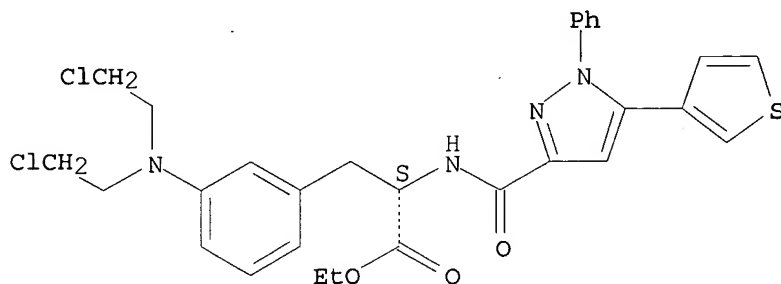


RN 666829-50-1 CAPLUS

10/584,632

CN L-Phenylalanine, 3-[bis(2-chloroethyl)amino]-N-[[1-phenyl-5-(3-thienyl)-1H-pyrazol-3-yl]carbonyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:903255 CAPLUS

DOCUMENT NUMBER: 139:396168

TITLE: Preparation of 3-pyridylpyrazole peptide derivatives as prenylation inhibitors

INVENTOR(S): Brown, Bradley B.; Rehder, Kenneth S.

PATENT ASSIGNEE(S): PPD Discovery, Inc., USA

SOURCE: U.S., 17 pp., Cont.-in-part of U.S. Ser. No. 219,628, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6649638	B1	20031118	US 2003-336285	20030103
WO 2004016592	A1	20040226	WO 2003-US24985	20030806
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003265395	A1	20040303	AU 2003-265395	20030806
US 2004116425	A1	20040617	US 2003-636327	20030806
US 7166619	B2	20070123		
EP 1534680	A1	20050601	EP 2003-788371	20030806
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
US 2004053970	A1	20040318	US 2003-646256	20030822
US 6960603	B2	20051101		
US 2006025454	A1	20060202	US 2005-237134	20050927
US 7112596	B2	20060926		
US 2007010561	A1	20070111	US 2006-457788	20060714
US 2007149549	A1	20070628	US 2007-618932	20070101
PRIORITY APPLN. INFO.:			US 2002-219628	B2 20020814
			US 2003-336285	A 20030103
			US 2003-454554P	P 20030314
			US 2003-636327	A3 20030806

WO 2003-US24985

W 20030806

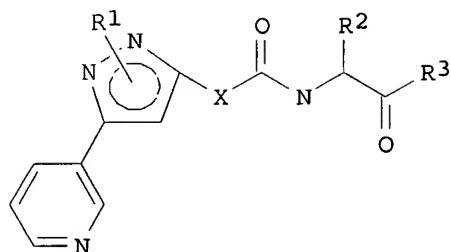
US 2003-646256

A3 20030822

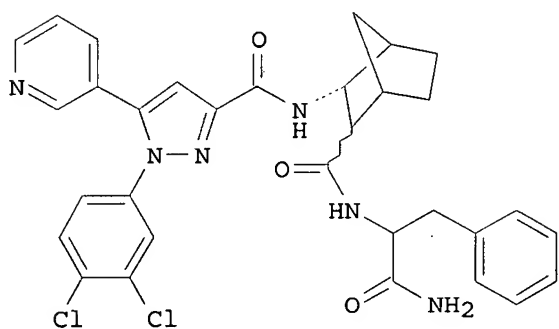
US 2005-237134

A3 20050927

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I



II

AB The invention is directed to pyridylpyrazole compds. I [X is nitrogen, Ph, pyrazole, methylpyrazole, dimethylpyrazole, pyridine, thiophene, dimethylcyclobutyl, dimethylcyclopropyl or cyclopropyl; R1 is halophenyl; R2 is benzyl, iso-Pr, chlorobenzyl, methylthienyl, (trifluoromethyl)benzyl, ethylthiomethyl, or 1-benzyl-4-pyrazolylmethyl; R3 is NH2 or OH] for use in the treatment of diseases associated with prenylation of proteins. Thus, phenylalaninamide derivative II was prepared via peptide coupling reactions and shown to inhibit GGPTase I.

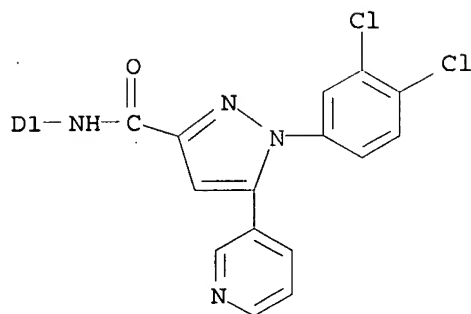
IT 627088-86-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridylpyrazole peptide derivs. as prenylation inhibitors)

RN 627088-86-2 CAPLUS

CN Cyclohexanecarboxylic acid, [[[1-(3,4-dichlorophenyl)-5-(3-pyridinyl)-1H-pyrazol-3-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)

D1-CO₂H

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:612965 CAPLUS

DOCUMENT NUMBER: 117:212965

TITLE: Preparation of N-(pyrazolylcarbonyl)amino acids and analogs as antipsychotics

INVENTOR(S): Boigegrain, Danielle; Gully, Robert; Jeanjean, Francis; Molimard, Jean Charles

PATENT ASSIGNEE(S): Sanofi SA, Fr.

SOURCE: Fr. Demande, 53 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

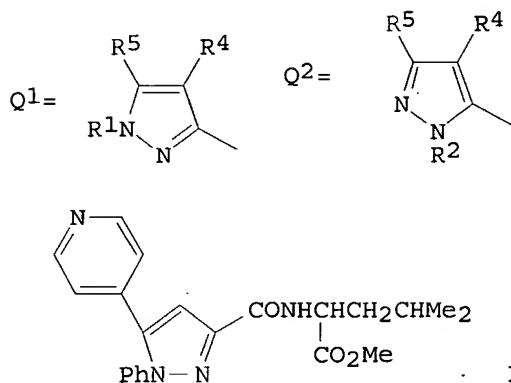
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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FR 2665898	A1	19920221	FR 1990-10486	19900820
FR 2665898	B1	19940311		
HU 59106	A2	19920428	HU 1991-2750	19910817
HU 217435	B	20000128		
FI 9103917	A	19920221	FI 1991-3917	19910819
FI 104170	B	19991130		
FI 104170	B1	19991130		
NO 9103234	A	19920221	NO 1991-3234	19910819
NO 300212	B1	19970428		
BR 9103550	A	19920407	BR 1991-3550	19910819
IL 99225	A	19951031	IL 1991-99225	19910819
PL 169085	B1	19960531	PL 1991-291463	19910819
RU 2066317	C1	19960910	RU 1991-5001452	19910819
CA 2049514	A1	19920221	CA 1991-2049514	19910820
CA 2049514	C	19970225		
AU 9182596	A	19920227	AU 1991-82596	19910820
AU 646683	B2	19940303		
EP 477049	A1	19920325	EP 1991-402269	19910820
EP 477049	B1	19991201		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE

ZA 9106583	A	19920527	ZA 1991-6583	19910820
JP 04244065	A	19920901	JP 1991-208108	19910820
CZ 281864	B6	19970312	CZ 1991-2574	19910820
CA 2166903	C	19980901	CA 1991-2166903	19910820
CA 2166902	C	19990119	CA 1991-2166902	19910820
CA 2166901	C	19990126	CA 1991-2166901	19910820
KR 223074	B1	19991015	KR 1991-14358	19910820
AT 187167	T	19991215	AT 1991-402269	19910820
ES 2142798	T3	20000501	ES 1991-402269	19910820
LV 10434	B	19951020	LV 1993-138	19930225
LT 3520	B	19951127	LT 1993-656	19930615
US 5420141	A	19950530	US 1993-119830	19930913
US 5635526	A	19970603	US 1995-393829	19950224
US 5607958	A	19970304	US 1995-394757	19950227
US 5616592	A	19970401	US 1995-394756	19950227
US 5744493	A	19980428	US 1996-775150	19961231
US 5744491	A	19980428	US 1997-778105	19970102
HK 1005136	A1	20000922	HK 1998-104340	19980519
GR 3032732	T3	20000630	GR 2000-400431	20000223
PRIORITY APPLN. INFO.:			FR 1990-10486	A 19900820
			CA 1991-2049514	A3 19910820
			US 1991-747359	B1 19910820
			US 1993-119830	A3 19930913
			US 1995-393829	A3 19950224
			US 1995-394756	A3 19950227

OTHER SOURCE(S): MARPAT 117:212965
GI



AB R3CONR(CH2)_nCXX1COZ [R = H, alkyl; R3 = pyrazolyl group Q1 or Q2; R1 = (substituted) Ph, carboxyalkyl, alkoxyalkyl, pyridyl, etc.; R2 = (substituted) PhCH2; R4 = H, halo, alkyl; R5 = alkyl, (substituted) Ph, naphthyl, pyridyl, etc.; R4R5 = atoms to complete a benzellated ring; X = H, alkyl; X1 = H, (substituted) alkyl, (hetero)aralkyl, etc.; when n = 0, RX1 = (hydroxy substituted) (CH2)₄₋₆; CXX1 = cycloalkylidene; Z = OH, NH2, alkoxy, etc.; n = 0-3] were prepared as neurotensin receptor ligands (no data). Thus, R3CO2H (R3 = Q1; R1 = Ph, R4 = H, R5 = 4-pyridyl) was condensed with L-leucine Me ester in the presence of Et3N and R6OP(NMe2)3PF6 (R6 = benzotriazol-1-yl) to give title compound I.

IT 144250-74-8P 144251-34-3P

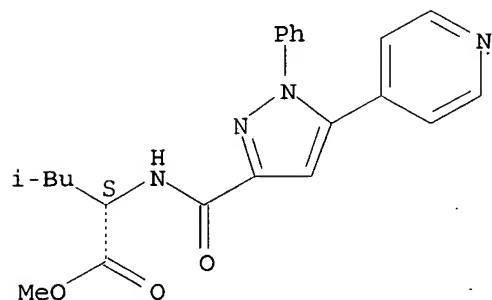
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antipsychotic)

RN 144250-74-8 CAPLUS

CN L-Leucine, N-[[1-phenyl-5-(4-pyridinyl)-1H-pyrazol-3-yl]carbonyl]-, methyl ester (CA INDEX NAME)

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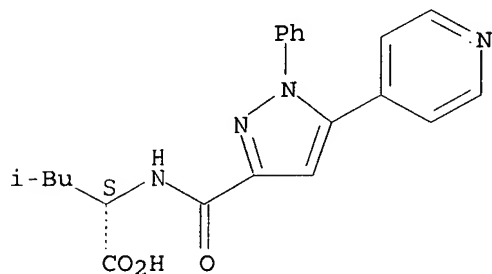
Absolute stereochemistry.



RN 144251-34-3 CAPLUS

CN L-Leucine, N-[[1-phenyl-5-(4-pyridinyl)-1H-pyrazol-3-yl]carbonyl] - (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1979:103915 CAPLUS

DOCUMENT NUMBER: 90:103915

ORIGINAL REFERENCE NO.: 90:16415a,16418a

TITLE: Studies of unsaturated lactones. XXXV. Synthesis and properties of 5-butenolidylpyrazole-3-carboxylic acid esters

AUTHOR(S): Avetisyan, A. A.; Dzhandzhapanyan, A. N.; Dangyan, M. T.

CORPORATE SOURCE: Erevan. Gos. Univ., Yerevan, USSR

SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1978), (12), 1611-14

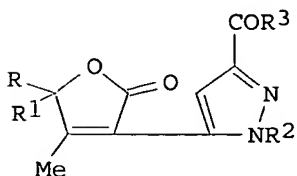
CODEN: KGSSAQ; ISSN: 0453-8234

DOCUMENT TYPE: Journal

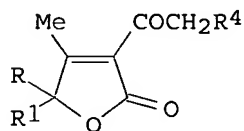
LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 90:103915

GI



I



II

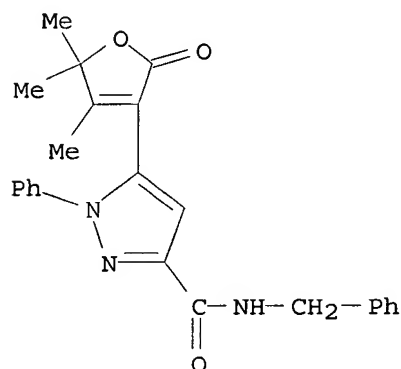
AB The title compds. I [R = Me, Et, R2 = Ph, H, or RR1 = (CH2)5; R3 = OEt] were prepared in 62-77% yields by condensation of II (R4 = H) with (CO2Et)2 to give 80-97% II (R4 = COCO2Et) which were cyclized by heating with R2NHNH2 in AcOH. Amides I [R = R1 = Me, R2 = H, Ph, R3 = NHR5 (R5 = H, Bu, PhCH2)] were prepared in 41-80% yield by treatment of the esters I with R5NH2.

IT 66078-63-5P 69398-43-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

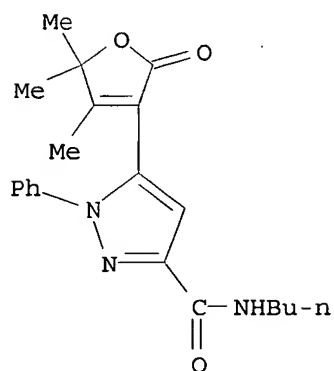
RN 66078-63-5 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 5-(2,5-dihydro-4,5,5-trimethyl-2-oxo-3-furanyl)-1-phenyl-N-(phenylmethyl)- (CA INDEX NAME)



RN 69398-43-2 CAPLUS

CN 1H-Pyrazole-3-carboxamide, N-butyl-5-(2,5-dihydro-4,5,5-trimethyl-2-oxo-3-furanyl)-1-phenyl- (CA INDEX NAME)



L4 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1978:136514 CAPLUS

DOCUMENT NUMBER: 88:136514

ORIGINAL REFERENCE NO.: 88:21459a,21462a

TITLE: Synthesis of some pyrazole derivatives containing an unsaturated γ -lactone ring

AUTHOR(S): Dzhandzhapanyan, A. N.; Avetisyan, A. A.; Dangyan, M. T.

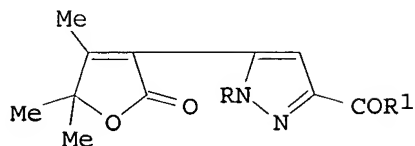
CORPORATE SOURCE: Erevan. Gos. Univ., Yerevan, USSR

SOURCE: Tezisy Dokl. - Molodezhnaya Konf. Org. Sint. Bioorg. Khim. (1976), 7-8. Akad. Nauk Arm. SSR, Inst. Tonkoi Org. Khim. im. A. L. Mndzhoyana: Yerevan, USSR.

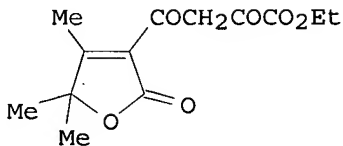
10/584,632

DOCUMENT TYPE:
LANGUAGE:
GI

CODEN: 37NNAQ
Conference
Russian



I



II

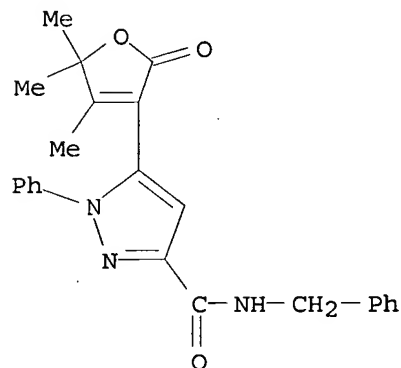
AB Pyrazolecarboxylates I (R = H, Ph, R1 = EtO) were prepared by cyclocondensation of RNHNH2 with II. Treatment of I with NH3 and PhCH2NH2 gave I [R = H, Ph, R1 NHR2 (R2 = H, PhCH2)].

IT 66078-63-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 66078-63-5 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 5-(2,5-dihydro-4,5,5-trimethyl-2-oxo-3-furanyl)-1-phenyl-N-(phenylmethyl)- (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 08:29:45 ON 31 JAN 2008)

FILE 'REGISTRY' ENTERED AT 08:29:56 ON 31 JAN 2008

L1 STRUCTURE UPLOADED .

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L3 96 S L1 FULL

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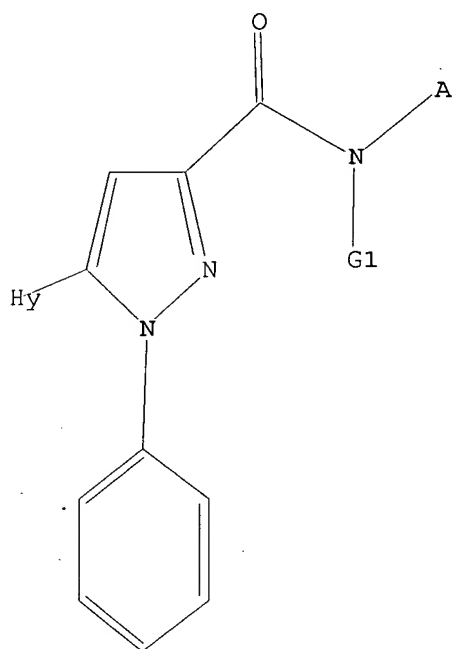
L4 11 S L3

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L1 HAS NO ANSWERS

L1 STR

10/584,632



G1 H, Ak

Structure attributes must be viewed using STN Express query preparation.

=>